

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	5	"909012".ap.	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 15:55
L2	5684	"Hepatitis C virus"	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:00
L3	1115	"Hepatitis C virus" and protease with inhibitor	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:00
L4	251	"Hepatitis C virus" and serine with protease with inhibitor	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:00
L5	120	"Hepatitis C virus" same serine with protease with inhibitor	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:00
L6	110	"Hepatitis C virus" same serine with protease with inhibitor and NS3	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:01
L7	105	"Hepatitis C virus" same NS3 with serine with protease with inhibitor	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:02
L8	105	"Hepatitis C virus" same NS3 with serine with protease with inhibitor and compound	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:02
L9	88	l8 and pharmaceutical	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:02
L10	76	l8 and pharmaceutical and assay	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:02
L11	11	l8 and pharmaceutical and assay and "Ki value"	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2005/02/23 16:03

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alerts (SDIs) affected  
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alerts (SDIs) affected  
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NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED  
NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and  
February 2005  
NEWS 17 JAN 26 CA/CAPLUS - Expanded patent coverage to include the Russian  
Agency for Patents and Trademarks (ROSPATENT)  
NEWS 18 FEB 10 STN Patent Forums to be held in March 2005  
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National Meeting on March 13, 2005  
  
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MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005  
  
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FILE 'HOME' ENTERED AT 16:11:58 ON 23 FEB 2005

=> index bioscience

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ENTRY	SESSION
0.21	0.21

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INDEX 'ADISCTI, ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, ANTE, AQUALINE, AQUASCI, BIOBUSINESS, BIOCCommerce, BIOENG, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CANCERLIT, CAPLUS, CEABA-VTB, CEN, CIN, CONFSCI, CROPB, CROPU, DDFB, DDFU, DGENE, DISSABS, ...' ENTERED AT 16:12:17 ON 23 FEB 2005

75 FILES IN THE FILE LIST IN STNINDEX

Enter SET DETAIL ON to see search term postings or to view search error messages that display as 0\* with SET DETAIL OFF.

=> "Hepatitis C virus" and NS3 and serine and protease and inhibitor and compound

6 FILE ADISINSIGHT  
1 FILE BIOENG  
23 FILE BIOSIS  
4 FILE BIOTECHABS  
4 FILE BIOTECHDS  
9 FILE BIOTECHNO  
15 FILES SEARCHED...  
1 FILE CANCERLIT  
64 FILE CAPLUS  
31 FILE DDFU  
26 FILES SEARCHED...  
335 FILE DGENE  
27 FILES SEARCHED...  
44 FILE DRUGU  
60 FILE EMBASE  
15 FILE ESBIODASE  
35 FILES SEARCHED...  
1 FILE GENBANK  
43 FILE IFIPAT  
1 FILE JICST-EPLUS  
10 FILE LIFESCI  
49 FILES SEARCHED...  
33 FILE MEDLINE  
19 FILE PASCAL  
7 FILE PHAR  
1 FILE PHARMAML  
4 FILE PROMT  
61 FILES SEARCHED...  
82 FILE PROUSDDR  
26 FILE SCISEARCH  
1 FILE SYNTHLINE  
11 FILE TOXCENTER  
329 FILE USPATFULL  
39 FILE USPAT2

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48 FILE WPIDS  
73 FILES SEARCHED...  
2 FILE WPIFV  
48 FILE WPINDEX

31 FILES HAVE ONE OR MORE ANSWERS, 75 FILES SEARCHED IN STNINDEX

L1 QUE "HEPATITIS C VIRUS" AND NS3 AND SERINE AND PROTEASE AND INHIBITOR AND COMPOUND

=> d rank

F1	335	DGENE
F2	329	USPATFULL
F3	82	PROUSDDR
F4	64	CAPLUS
F5	60	EMBASE
F6	48	WPIDS
F7	48	WPINDEX
F8	44	DRUGU
F9	43	IFIPAT
F10	39	USPAT2
F11	33	MEDLINE
F12	31	DDFU
F13	26	SCISEARCH
F14	23	BIOSIS
F15	19	PASCAL
F16	15	ESBIOBASE
F17	11	TOXCENTER
F18	10	LIFESCI
F19	9	BIOTECHNO
F20	7	PHAR
F21	6	ADISINSIGHT
F22	4	BIOTECHABS
F23	4	BIOTECHDS
F24	4	PROMT
F25	2	WPIFV
F26	1	BIOENG
F27	1	CANCERLIT
F28	1	GENBANK
F29	1	JICST-EPLUS
F30	1	PHARMAML
F31	1	SYNTHLINE

=> file caplus ROUSDDR medline biosis

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FILE 'BIOSIS' ENTERED AT 16:15:49 ON 23 FEB 2005

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=> "Hepatitis C virus" and NS3 and serine and protease and inhibitor and compound and pharmaceutical and assay

L2 7 "HEPATITIS C VIRUS" AND NS3 AND SERINE AND PROTEASE AND INHIBITO  
R AND COMPOUND AND PHARMACEUTICAL AND ASSAY

=> d ti 1-7

L2 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

L2 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

L2 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

TI Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

L2 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

TI Preparation of macrocyclic NS3-serine protease inhibitors of hepatitis C virus comprising alkyl and aryl alanine p2 moieties

L2 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

TI Pentacyclic compounds useful as inhibitors of hepatitis C virus NS3 helicase

L2 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

TI Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease

L2 ANSWER 7 OF 7 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN

TI Prime site binding inhibitors of a serine protease: NS3/4A of hepatitis C virus.

=> d ab bib 1-7

L2 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N,

alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO<sub>2</sub>, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO<sub>2</sub>, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared by the solid-phase method and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

AN 2003:912843 CAPLUS

DN 139:381756

TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

IN Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua

PA USA

SO U.S. Pat. Appl. Publ., 629 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003216325	A1	20031120	US 2001-908955	20010719
	US 2004254117	A9	20041216		
	ZA 2002010312	A	20040329	ZA 2002-10312	20021219
PRAI	US 2000-220108P	P	20000721		
OS	MARPAT 139:381756				

L2 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R<sub>1</sub> is acyl; Z is selected from O, N, CH or CR; R, R<sub>2</sub>-R<sub>4</sub> are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO<sub>2</sub>; Q is CH, N, P, alkylidene, O, NR, S, or SO<sub>2</sub>; A is O, CH, alkylidene, NR, S, SO<sub>2</sub>, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO<sub>2</sub>, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO<sub>2</sub>, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

AN 2003:591204 CAPLUS

DN 139:149928

TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

IN Saksena, Anil K.; Girijavallabh, Viyyoor M.; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell

E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.

PA Schering Corporation, USA; Corvas International, Inc.; Dendreon Corp.

SO PCT Int. Appl., 633 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003062265	A2	20030731	WO 2003-US1430	20030116
	WO 2003062265	A3	20040916		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP	1481000	A2	20041201	EP 2003-731956	20030116
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRAI	US 2002-52386	A	20020118		
	WO 2003-US1430	W	20030116		
OS	MARPAT 139:149928				

L2 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AB The present invention provides nucleoside **compsds.** I, wherein R1 is alkenyl, alkynyl, alkyl, wherein alkyl is unsubstituted or substituted with hydroxy, amino, alkoxy, alkylthio, one to three fluorine atoms; R2 is hydrogen, fluorine, hydroxy, mercapto, alkoxy, alkyl; or R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered saturated monocyclic ring system optionally containing a heteroatom selected from O, S, and NC-alkyl; R3 and R4 are each independently hydrogen, cyano, azido, halogen, hydroxy, mercapto, amino, alkoxy, alkenyl, alkynyl, alkyl; R5 is hydrogen, alkylcarbonyl, phosphate; R6 and R7 are each independently hydrogen, Me, hydroxymethyl, or fluoromethyl; R8 is hydrogen, alkyl, alkynyl, halogen, cyano, carboxy, alkyloxycarbonyl, azido, amino, alkylamino, di(alkyl)amino, hydroxy, alkoxy, alkylthio, alkylsulfonyl, alkylaminomethyl, cycloheteroalkyl; R9 is hydrogen, cyano, nitro, alkyl, NHCONH2, amide, thioamide, ester, C(=NH)NH2, hydroxy, alkoxy, amino, alkylamino, di(alkyl)amino, halogen, (1,3-oxazol-2-yl), (1,3-thiazol-2-yl), or (imidazol-2-yl); R10 and R11 are each independently hydrogen, hydroxy, halogen, alkoxy, amino, alkylamino, di(alkyl)amino, cycloalkylamino, di(cycloalkyl)amino, cycloheteroalkyl, and certain derivs. thereof which are **inhibitors** of RNA-dependent RNA viral polymerase. These **compsds.** are **inhibitors** of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as **inhibitors of hepatitis C virus** (HCV) NS5B polymerase, as **inhibitors** of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes **pharmaceutical compns.** containing such nucleoside **compsds.**

alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside **compds.** of the present invention. Thus, 4-amino-7-(2-C-methyl- $\beta$ -D-arabinofuranosyl)-7H-pyrrolo[2,3-d]pyrimidine was prepared as **inhibitors** of RNA-dependent RNA viral polymerase. Representative **compds.** tested in the HCV NS5B polymerase **assay** exhibited IC's less than 100  $\mu$ M. The nucleoside derivs. were also screened for cytotoxicity against cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon in an MTS cell-based **assay**.

AN 2002:555511 CAPLUS

DN 137:109450

TI Preparation of nucleoside derivatives as **inhibitors** of RNA-dependent RNA viral polymerase

IN Carroll, Steven S.; Maccoss, Malcolm; Olsen, David B.; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Prakash, Thazha P.; Prhavc, Marija; Song, Quanlai

PA Merck &amp; Co., Inc., USA; Isis Pharmaceuticals, Inc.

SO PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002057287	A2	20020725	WO 2002-US3086	20020118
	WO 2002057287	A3	20021010		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2434386	AA	20020825	CA 2002-2434386	20020118
	US 2002147160	A1	20021010	US 2002-52318	20020118
	US 6777395	B2	20040817		
	EE 200300338	A	20031015	EE 2003-338	20020118
	EP 1355916	A2	20031029	EP 2002-709299	20020118
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	BR 2002006614	A	20040217	BR 2002-6614	20020118
	JP 2004520367	T2	20040708	JP 2002-557963	20020118
	NZ 526703	A	20041224	NZ 2002-526703	20020118
	US 2004072788	A1	20040415	US 2003-431657	20030507
	ZA 2003005078	A	20040521	ZA 2003-5078	20030630
	BG 108000	A	20040831	BG 2003-108000	20030717
	NO 2003003289	A	20030919	NO 2003-3289	20030721
	US 2004067901	A1	20040408	US 2003-688691	20031017
PRAI	US 2001-263313P	P	20010122		
	US 2001-282069P	P	20010406		
	US 2001-299320P	P	20010619		
	US 2001-344528P	P	20011025		
	US 2002-52318	A3	20020118		
	WO 2002-US3086	W	20020118		

OS MARPAT 137:109450

L2 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AB Macrocyclic **compds.** I [E, X, Y may be independently present or absent, and if present may be (un)substituted (cyclo)alkyl, aryl, heteroalkyl, heteroaryl, ether, amino, sulfide, sulfone, amide, sulfonamide, urea, carbamate, hydrazide, carbonyl, etc.; R1 = acyl or boryl groups; Z = O, N, or CH; W = null, CO, CS, SO<sub>2</sub>, C:NR (R = H, alkyl, cycloalkyl, aryl, etc.); Q = (NR)<sub>p</sub> (p = 0-6), O, S, CH<sub>2</sub>, CHR, CRR' (R' = any group given for R) or a double bond toward V; A = O, CH<sub>2</sub>, (CHR)<sub>p</sub>, (CHRCHR')<sub>p</sub>, (CRR')<sub>p</sub>, NR, S, SO<sub>2</sub>, CO or a bond; G = (CH<sub>2</sub>)<sub>p</sub>, (CHR)<sub>p</sub>, (CRR')<sub>p</sub>, NR, O, S, SO<sub>2</sub>, SO<sub>2</sub>NH, CO or a bond towards E or V; R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> = H, (un)substituted (hetero)alkyl, -aryl or -cycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, etc.], including enantiomers and pharmaceutically acceptable salts, were prepared as **hepatitis C virus (HCV) protease inhibitors.**

Thus, peptide II was prepared by a multistep procedure involving cyclization of intermediate cyclopentadiene- $\eta$ 6-ruthenium-4-chlorophenylpropionic acid-cyclohexylglycine-m-tyrosine-OMe. II showed  $K_i = 0.001$ - $1.0 \mu\text{M}$  in the HCV **protease assay**. The invention also discloses **pharmaceutical compns.** comprising I as well as methods of using them to treat disorders associated with the HCV **protease**.

AN 2001:798207 CAPLUS

DN 135:344735

TI Preparation of macrocyclic **NS3-serine protease inhibitors of hepatitis C virus** comprising alkyl and aryl alanine p2 moieties

IN Venkatraman, Srikanth; Chen, Kevin X.; Arasappan, Ashok; Njoroge, F. George; Girijavallabhan, Viyyoor M.; Chan, Tin-Yau; McKittrick, Brian A.; Prongay, Andrew J.; Madison, Vincent S.

PA Schering Corporation, USA

SO PCT Int. Appl., 218 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001081325	A2	20011101	WO 2001-US12530	20010417
	WO 2001081325	A3	20020801		
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	CA 2406532	AA	20011101	CA 2001-2406532	20010417
	US 2002016294	A1	20020207	US 2001-836636	20010417
	BR 2001010104	A	20030107	BR 2001-10104	20010417
	EP 1274724	A2	20030115	EP 2001-927142	20010417
	R:				
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	JP 2003531199	T2	20031021	JP 2001-578418	20010417
	NZ 521456	A	20040730	NZ 2001-521456	20010417
	ZA 2002008014	A	20040212	ZA 2002-8014	20021004

NO 2002005030	A	20021218	NO 2002-5030	20021018
PRAI US 2000-198204P	P	20000419		
WO 2001-US12530	W	20010417		
OS MARPAT 135:344735				

L2 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
 AB A series of 2,3,5-trisubstituted-1,2,4-thiadiazol-2-ium salts is reported by Vertex **Pharmaceuticals** to possess inhibitory properties against NS3, a multifunctional (**serine protease** and NTPase/helicase) protein of **hepatitis C virus** (HCV), the causative agent of non-A, non-B hepatitis. These **compds.** were prepared by simple synthetic procedures and assayed in vitro for their inhibitory properties of different enzymic activity of NS3, such as the unwinding **assay**, the spectrophotometric ATPase **assay**, as well as the HPLC ATPase activity **assay**. Some of them showed in vitro inhibitory activity in the low micromolar range, making them interesting leads for the development of more efficient HCV helicase **inhibitors**. No in vivo data are presented.

AN 2000:799386 CAPLUS  
 TI Pentacyclic **compounds** useful as **inhibitors** of **hepatitis C virus NS3** helicase  
 AU Anon.  
 SO Expert Opinion on Therapeutic Patents (2000), 10(11), 1777-1779  
 CODEN: EOTPEG; ISSN: 1354-3776  
 PB Ashley Publications Ltd.  
 DT Journal  
 LA English

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
 AB The present invention relates to **compds.** I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COC2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond,  $\alpha$ -amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and **pharmaceutical compns.** for inhibiting **proteases**, particularly **serine proteases**, and more particularly HCV NS3 **proteases**. The **compds.**, and the **compns.** and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 **compds.** I were prepared and tested for **hepatitis C virus NS3 protease** inhibitory activity, with II exhibiting Ki <1  $\mu$ M in an in vitro **assay**.

AN 1998:268513 CAPLUS  
 DN 128:321945  
 TI Preparation of peptide analogs as **inhibitors** of **serine proteases**, particularly **hepatitis C**

**virus NS3 protease**

IN Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.  
 PA Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.  
 SO PCT Int. Appl., 128 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9817679	A1	19980430	WO 1997-US18968	19971017
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2268391	AA	19980430	CA 1997-2268391	19971017
	ZA 9709327	A	19980511	ZA 1997-9327	19971017
	AU 9851477	A1	19980515	AU 1998-51477	19971017
	AU 719984	B2	20000518		
	EP 932617	A1	19990804	EP 1997-946273	19971017
	EP 932617	B1	20020116		
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	IN 183120	A	19990911	IN 1997-CA1951	19971017
	BR 9712544	A	19991019	BR 1997-12544	19971017
	CN 1238780	A	19991215	CN 1997-180151	19971017
	CN 1133649	B	20040107		
	NZ 335276	A	20000929	NZ 1997-335276	19971017
	JP 2001502694	T2	20010227	JP 1998-519568	19971017
	EP 1136498	A1	20010926	EP 2001-109433	19971017
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	AT 212037	E	20020215	AT 1997-946273	19971017
	ES 2169880	T3	20020716	ES 1997-946273	19971017
	EE 4023	B1	20030415	EE 1999-161	19971017
	TW 530065	B	20030501	TW 1997-86115382	19971018
	NO 9901832	A	19990617	NO 1999-1832	19990416
	US 6265380	B1	20010724	US 1999-293247	19990416
	KR 2000049263	A	20000725	KR 1999-703372	19990417
	HK 1023779	A1	20020927	HK 2000-100690	20000203
	US 2002032175	A1	20020314	US 2001-875390	20010606
	US 6617309	B2	20030909		
	US 2004266731	A1	20041230	US 2003-607716	20030627
PRAI	US 1996-28290P	P	19961018		
	EP 1997-946273	A3	19971017		
	WO 1997-US18968	W	19971017		
	US 1999-293247	A	19990416		
	US 2001-875390	A3	20010606		

OS MARPAT 128:321945

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 7 OF 7 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN  
 AB **Serine proteases** are the most studied class of proteolytic enzymes and a primary target for drug discovery. Despite the large number of **inhibitors** developed so far, very few make contact with the prime site of the enzyme, which constitutes an almost untapped opportunity for drug design. In the course of our studies on the **serine protease NS3/4A of hepatitis C virus** (HCV), we found that this enzyme is an excellent example of both the opportunities and the challenges of such design. We had previously reported on two classes of peptide **inhibitors** of the enzyme: (a) product **inhibitors**, which include the P6-P1 region of the substrate and derive much of their binding energy from binding of their C-terminal carboxylate in the active site, and (b) decapeptide **inhibitors**, which span the S6-S4' subsites of the enzyme, whose P2'-P4' tripeptide fragment crucially contributes to potency. Here we report on further work, which combined the key binding elements of the two series and led to the development of **inhibitors** binding exclusively to the prime site of **NS3/4A**. We prepared a small combinational library of tripeptides, capped with a variety of constrained and unconstrained diacids. The SAR was derived from multiple analogues of the initial micromolar lead. Binding of the **inhibitor(s)** to the enzyme was further characterized by circular dichroism, site-directed mutagenesis, a probe displacement **assay**, and NMR to unequivocally prove that, according to our design, the bound **inhibitor(s)** occupies (occupy) the S' subsite and the active site of the **protease**. In addition, on the basis of the information collected, the tripeptide series was evolved toward reduced peptide character, reduced molecular weight, and higher potency. Beyond their interest as HCV antivirals, these **compounds** represent the first example of prime site **inhibitors** of a **serine protease**. We further suggest that the design of an **inhibitor** with an analogous binding mode may be possible for other **serine proteases**.

AN 2002:315158 BIOSIS  
 DN PREV200200315158  
 TI Prime site binding **inhibitors** of a **serine protease: NS3/4A of hepatitis C virus**.

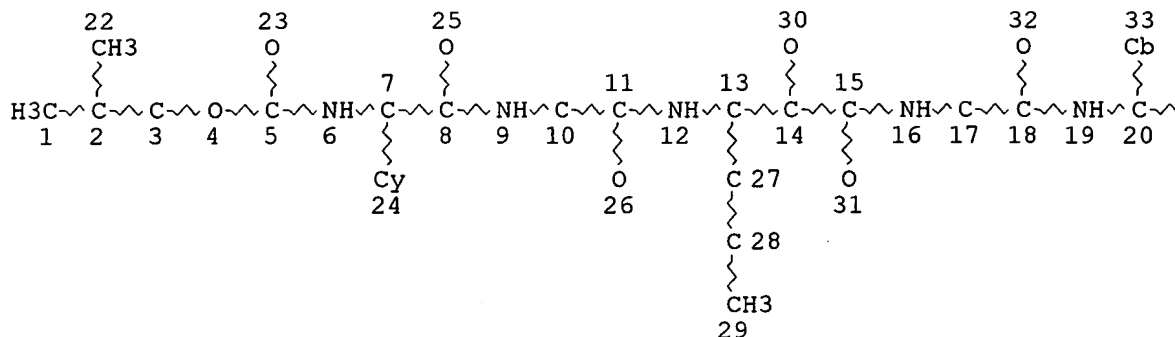
AU Ingallinella, Paolo; Fattori, Daniela; Altamura, Sergio; Steinkuhler, Christian; Koch, Uwe; Cicero, Daniel; Bazzo, Renzo; Cortese, Riccardo; Bianchi, Elisabetta; Pessi, Antonello [Reprint author]  
 CS Biopolymers Laboratory, Department of Molecular and Cell Biology, IRBM P. Angeletti, Via Pontina Km 30.600, 00040, Pomezia (Rome), Italy  
 antonello\_pessi@merck.com  
 SO Biochemistry, (April 30, 2002) Vol. 41, No. 17, pp. 5483-5492. print.  
 CODEN: BICHAW. ISSN: 0006-2960.  
 DT Article  
 LA English  
 ED Entered STN: 29 May 2002  
 Last Updated on STN: 29 May 2002

09/909012

(FILE 'REGISTRY' ENTERED AT 16:12:00 ON 17 FEB 2005)

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STR



Page 1-A

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Page 1-B

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GGCAT IS MCY UNS AT 33

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

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35 ANSWERS

SEARCH TIME: 00.00.01

(FILE 'CAPLUS' ENTERED AT 16:17:37 ON 17 FEB 2005)

L6

1 S L5

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:90007 CAPLUS

DOCUMENT NUMBER: 136:151439

TITLE: Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

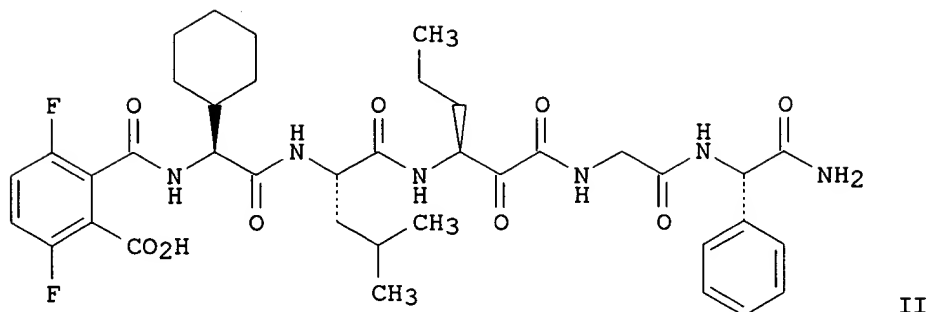
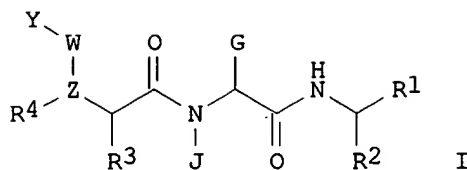
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Bogen, Stephane L.; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Liu, Yi-Tsung; Chan, Tin-Yau; Zhu, Zhaoning; Arasappan, Ashok; Chen, Kevin X.; Venkatraman, Srikanth; Parekh, Tejal N.; Pinto, Patrick A.; Santhanam, Bama; Njoroge, F. George; Ganguly, Ashit K.; Vaccaro, Henry A.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.

PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.

09/909012

SOURCE: PCT Int. Appl., 188 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008187	A1	20020131	WO 2001-US22813	20010719
WO 2002008187	C2	20030103		
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CA 2410682	AA	20020131	CA 2001-2410682	20010719
US 2002160962	A1	20021031	US 2001-909012	20010719
EP 1303487	A1	20030423	EP 2001-959041	20010719
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BR 2001012666	A	20030610	BR 2001-12666	20010719
JP 2004513881	T2	20040513	JP 2002-514094	20010719
NZ 523781	A	20041029	NZ 2001-523781	20010719
ZA 2002010311	A	20040319	ZA 2002-10311	20021219
NO 2003000271	A	20030318	NO 2003-271	20030120
PRIORITY APPLN. INFO.:			US 2000-220107P	P 20000721
			WO 2001-US22813	W 20010719
OTHER SOURCE(S):			MARPAT 136:151439	
GI				



AB Novel peptides I [G, J, Y = independently H, alkyl, alkyl-aryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkyl-heteroaryl, cycloalkyl, alkoxy, alkyl-aryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkyl-aryl amino, arylamino, heteroaryl amino, cycloalkyl amino, and heterocycloalkyl amino; Z = O, N, CH; W = null, CO, CS, SO<sub>2</sub>; R<sub>1</sub> = COR<sub>5</sub>, B(OR)<sub>2</sub>; R<sub>5</sub> = H, OH, OR<sub>8</sub>, NR<sub>9</sub>R<sub>10</sub>, CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, C<sub>3</sub>F<sub>7</sub>, CF<sub>2</sub>R<sub>6</sub>, R<sub>6</sub>, COR<sub>7</sub>; R<sub>7</sub> = H, OH, OR<sub>8</sub>, CHR<sub>9</sub>R<sub>10</sub>, NR<sub>9</sub>R<sub>10</sub>; R<sub>6</sub>, R<sub>8</sub>-10 = independently H, alkyl, aryl, heteroalkyl, cycloalkyl, arylalkyl, peptide derivative, etc.; R, R<sub>2</sub>-4 = independently H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, etc.] and their pharmaceutically salts which have hepatitis C virus (HCV) protease inhibitory activity were prepared via solution or solid-phase peptide coupling methods. Thus, peptide

II was prepared using solid-phase methods and showed a K<sub>i</sub> value in the range of 0-100 nM for HCV protease inhibitory activity. This invention also discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease.

IT 393580-17-1P 393580-18-2P 393580-25-1P  
 393580-27-3P 393580-30-8P 393580-34-2P  
 393580-36-4P 393580-37-5P 393580-38-6P  
 393580-42-2P 393580-43-3P 393580-44-4P  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

09/909012

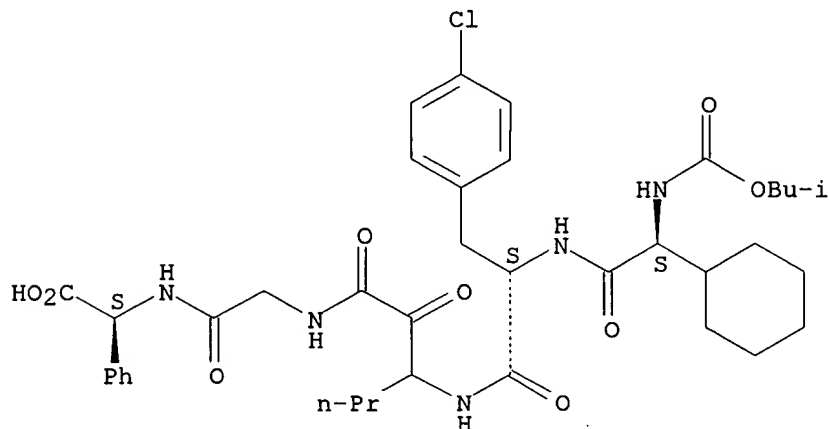
(Uses)

(preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393580-17-1 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4-chloro-L-phenylalanyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

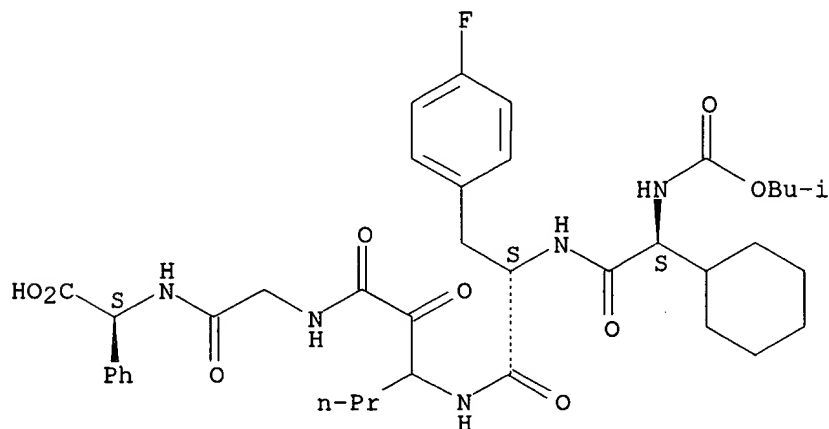
Absolute stereochemistry.



RN 393580-18-2 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4-fluoro-L-phenylalanyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

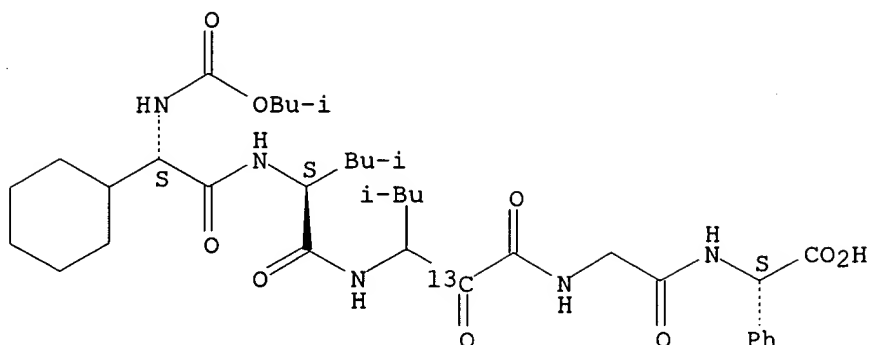


RN 393580-25-1 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-5-methyl-2-oxohexanoyl-2-<sup>13</sup>C-glycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

09/909012

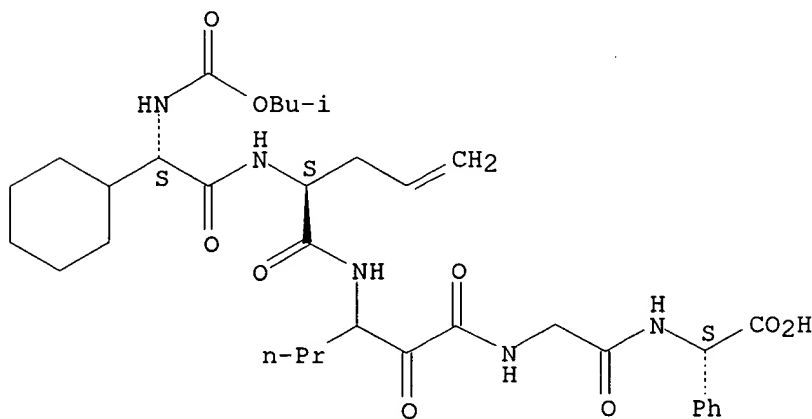
Absolute stereochemistry.



RN 393580-27-3 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,5-didehydro-L-norvalyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

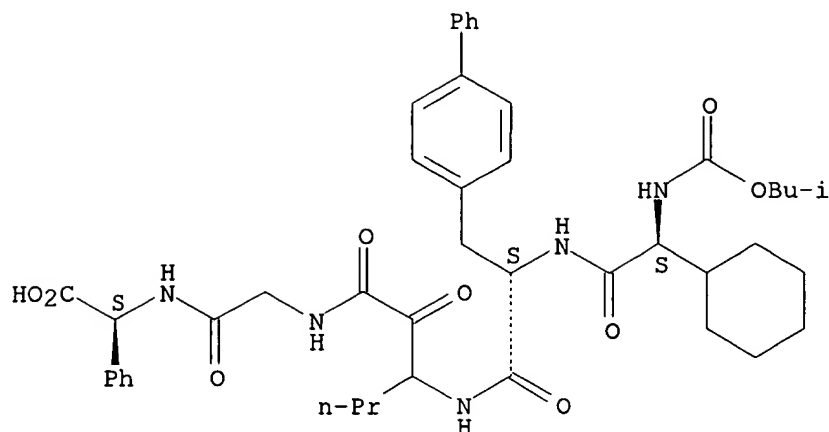


RN 393580-30-8 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-3-[1,1'-biphenyl]-4-yl-L-alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI)  
(CA INDEX NAME)

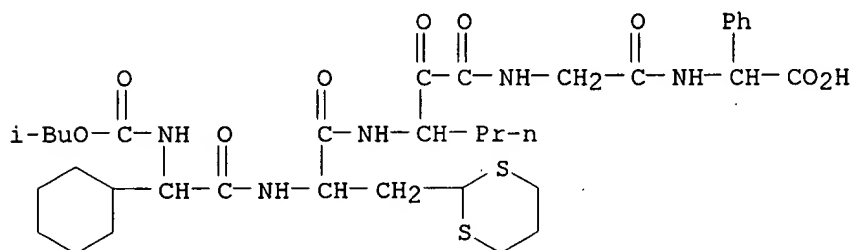
Absolute stereochemistry.

09/909012



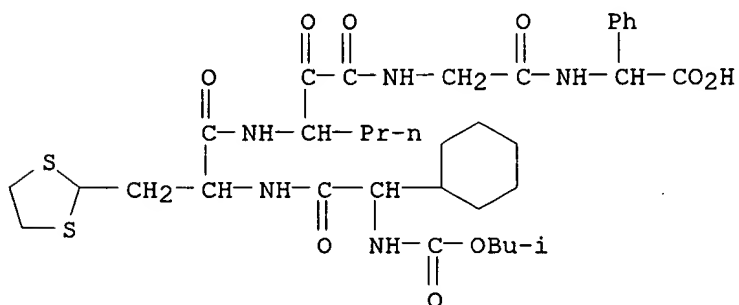
RN 393580-34-2 CAPLUS

CN Glycine, 2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-3-(1,3-dithian-2-yl)alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 393580-36-4 CAPLUS

CN Glycine, 2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-3-(1,3-dithiolan-2-yl)alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl- (9CI) (CA INDEX NAME)



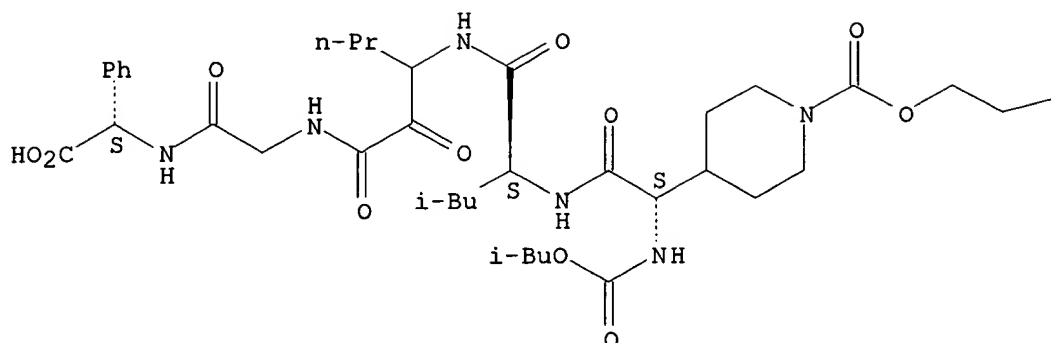
RN 393580-37-5 CAPLUS

CN Glycine, (2S)-N-[(2-methylpropoxy)carbonyl]-2-[1-[[2-(trimethylsilyl)ethoxy]carbonyl]-4-piperidinyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Searcher : Shears 571-272-2528

Absolute stereochemistry.

PAGE 1-A



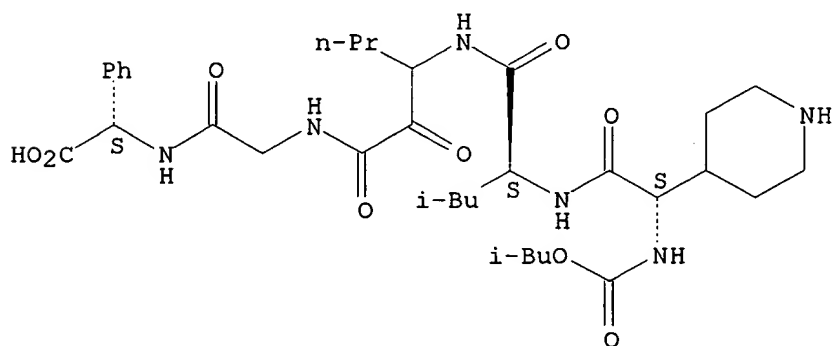
PAGE 1-B

— SiMe<sub>3</sub>

RN 393580-38-6 CAPLUS

CN Glycine, (2S)-N-[(2-methylpropoxy)carbonyl]-2-(4-piperidinyl)glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

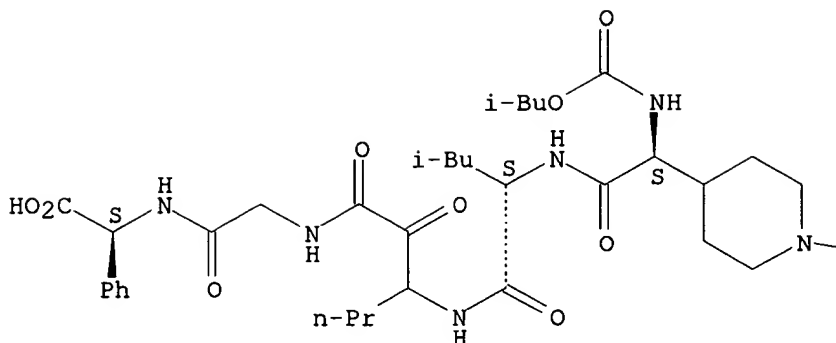


RN 393580-42-2 CAPLUS

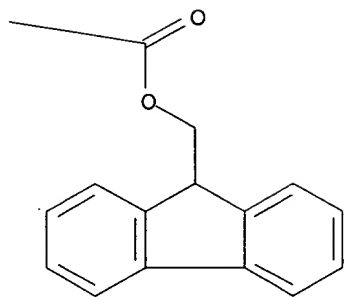
CN Glycine, (2S)-2-[1-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

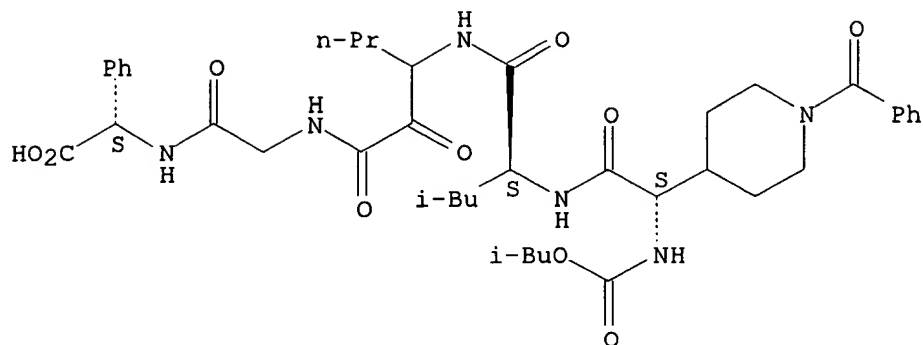


RN 393580-43-3 CAPLUS

CN Glycine, (2S)-2-(1-benzoyl-4-piperidinyl)-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/909012

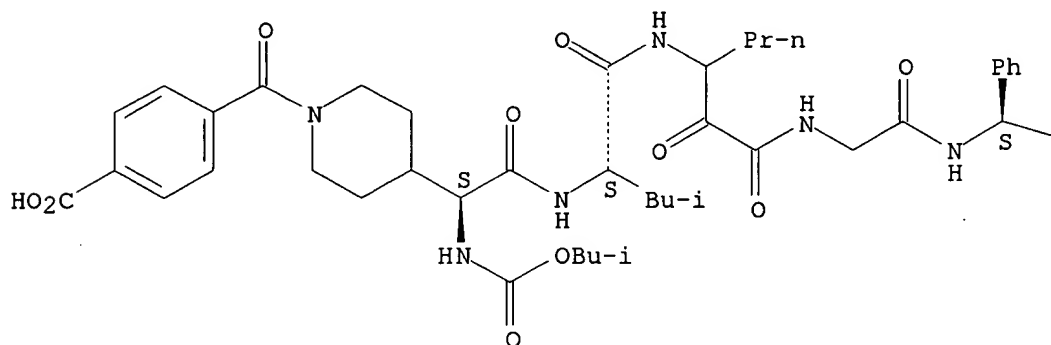


RN 393580-44-4 CAPLUS

CN Glycine, (2S)-2-[1-(4-carboxybenzoyl)-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

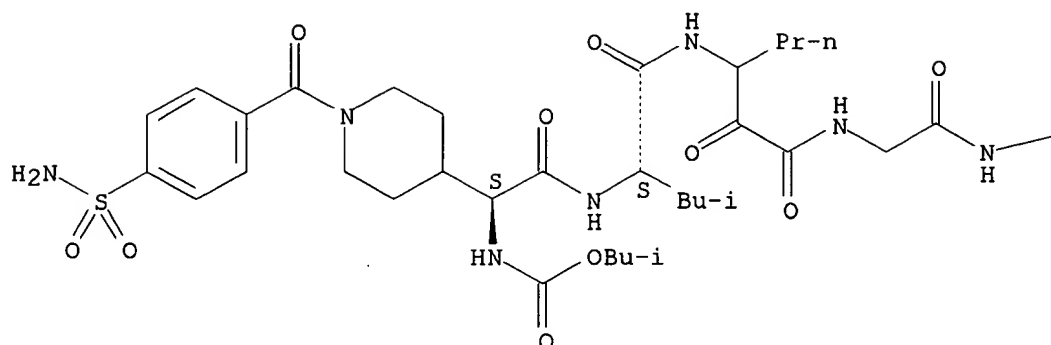
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RN 393580-45-5 CAPLUS

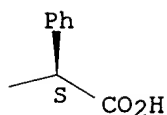
CN Glycine, (2S)-2-[1-[4-(aminosulfonyl)benzoyl]-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



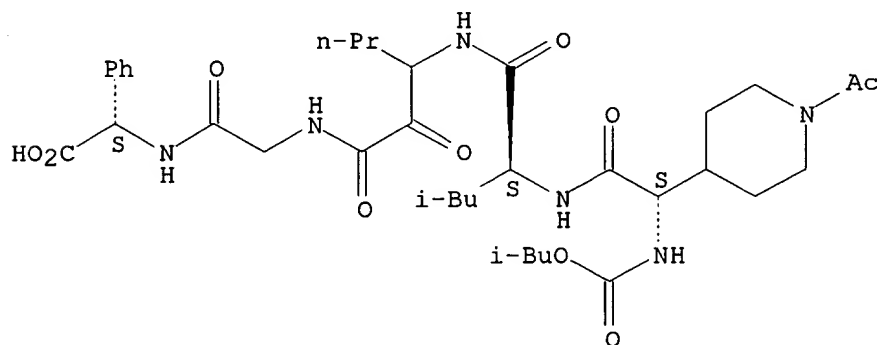
PAGE 1-B



RN 393580-46-6 CAPLUS

CN Glycine, (2S)-2-(1-acetyl-4-piperidinyl)-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

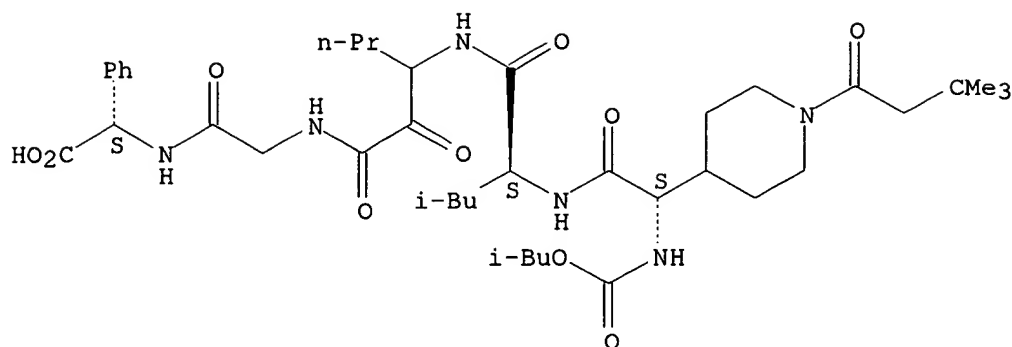


RN 393580-47-7 CAPLUS

CN Glycine, (2S)-2-[1-(3,3-dimethyl-1-oxobutyl)-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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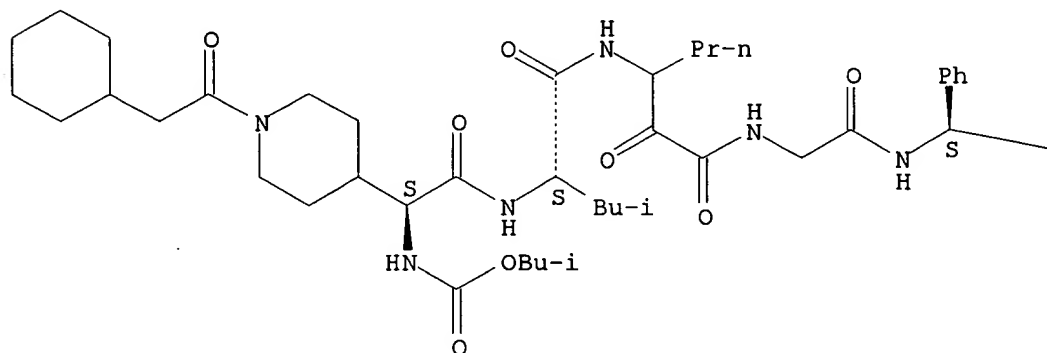


RN 393580-48-8 CAPLUS

CN Glycine, (2S)-2-[1-(cyclohexylacetyl)-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

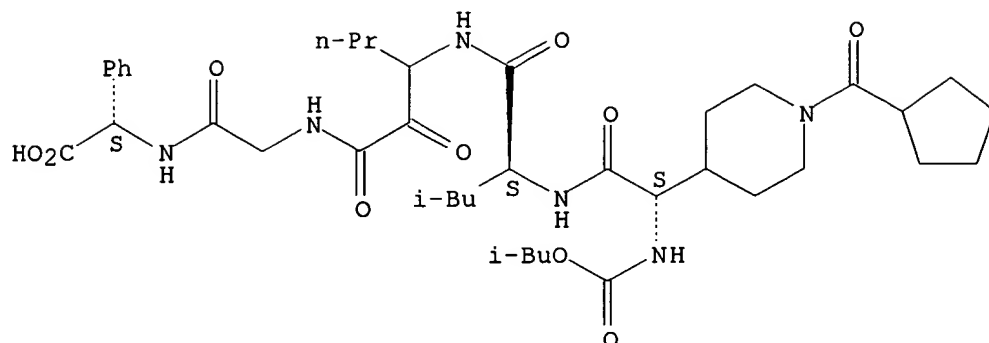
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RN 393580-49-9 CAPLUS

CN Glycine, (2S)-2-[1-(cyclopentylcarbonyl)-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

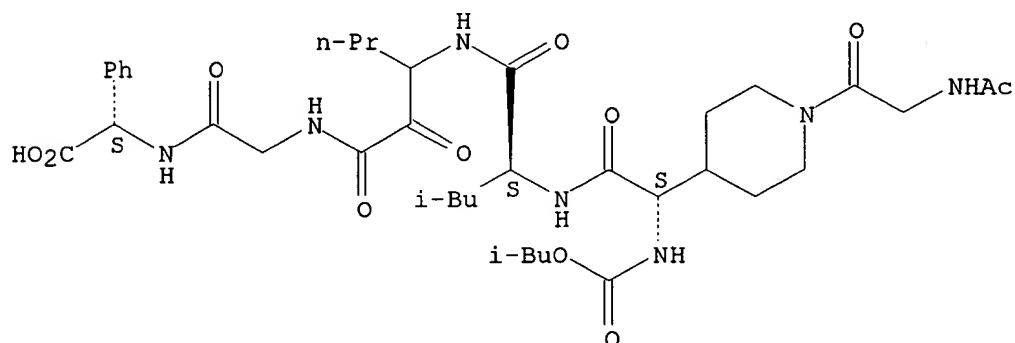
09/909012



RN 393580-50-2 CAPLUS

CN Glycine, (2S)-2-[1-[(acetylamino)acetyl]-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

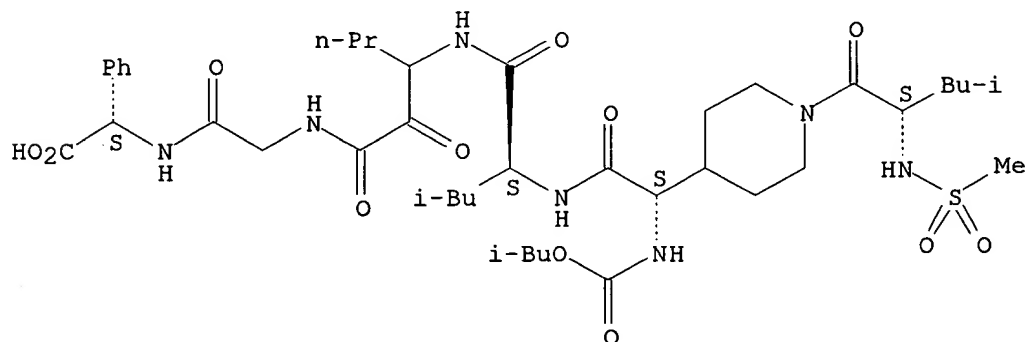
Absolute stereochemistry.



RN 393580-51-3 CAPLUS

CN Glycine, (2S)-2-[1-[(2S)-4-methyl-2-[(methylsulfonyl)amino]-1-oxopentyl]-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

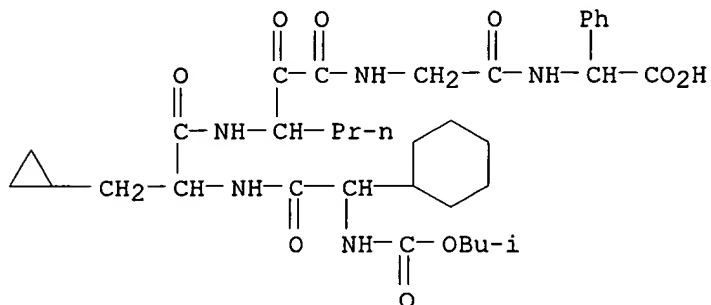


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09/909012

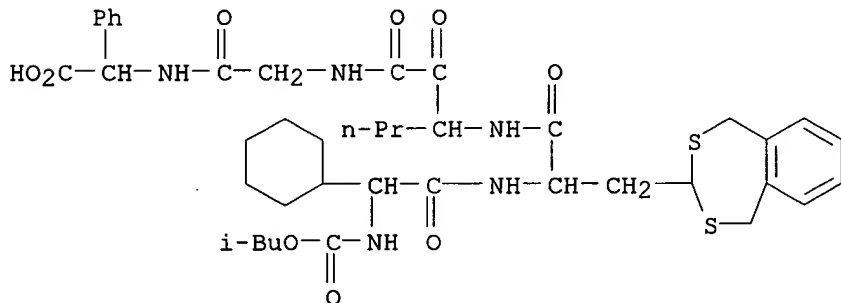
RN 393580-52-4 CAPLUS

CN Glycine, 2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-3-cyclopropylalanyl-3-amino-2-oxohexanoylglycyl-2-phenyl- (9CI) (CA INDEX NAME)



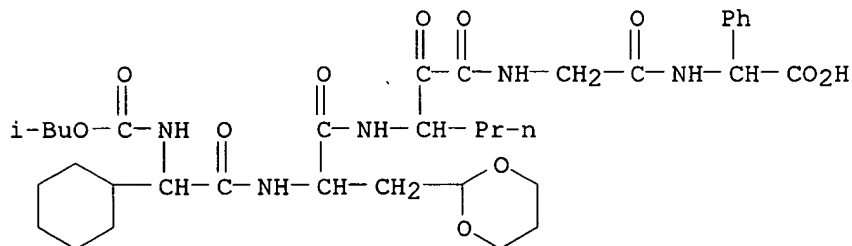
RN 393580-53-5 CAPLUS

CN Glycine, 2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-3-(1,5-dihydro-2,4-benzodithiepin-3-yl)alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 393580-54-6 CAPLUS

CN Glycine, 2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-3-(1,3-dioxan-2-yl)alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl- (9CI) (CA INDEX NAME)

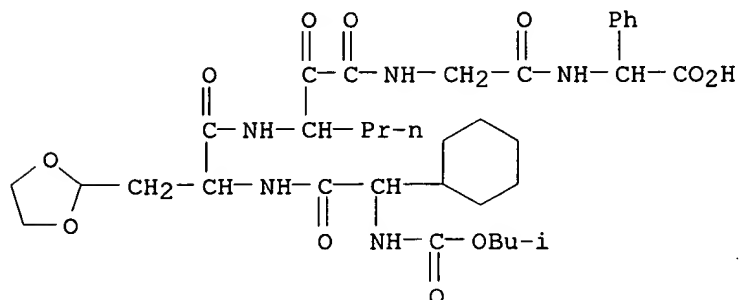


RN 393580-56-8 CAPLUS

CN Glycine, 2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-3-(1,3-dioxolan-

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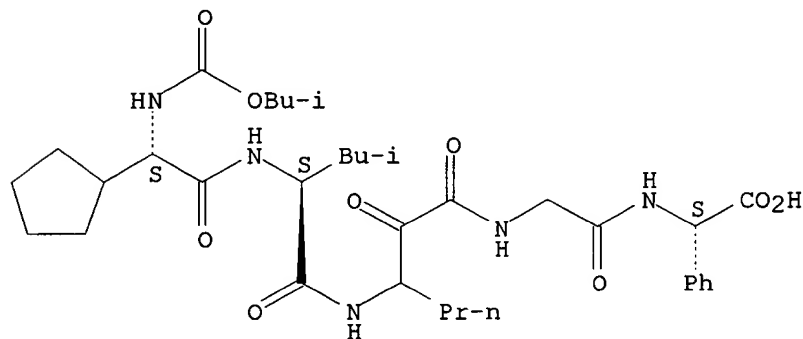
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RN 393580-62-6 CAPLUS

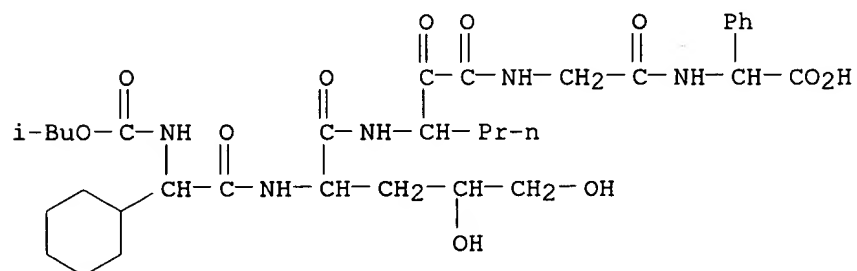
CN Glycine, (2S)-2-cyclopentyl-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393580-80-8 CAPLUS

CN Glycine, 2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-4,5-dihydroxyvaleryl-3-amino-2-oxohexanoylglycyl-2-phenyl- (9CI) (CA INDEX NAME)



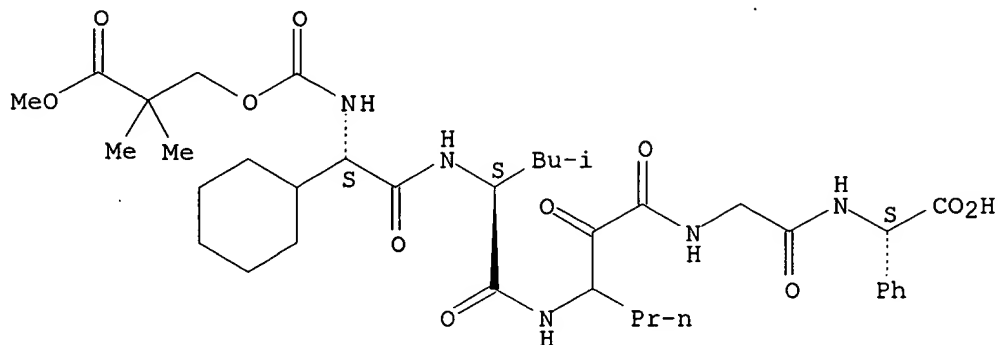
RN 393582-07-5 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(3-methoxy-2,2-dimethyl-3-

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oxopropoxy) carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-,  
(2S)- (9CI) (CA INDEX NAME)

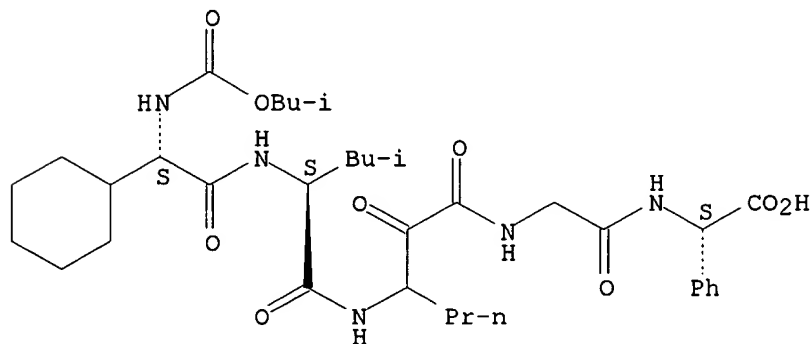
Absolute stereochemistry.



RN 393582-08-6 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

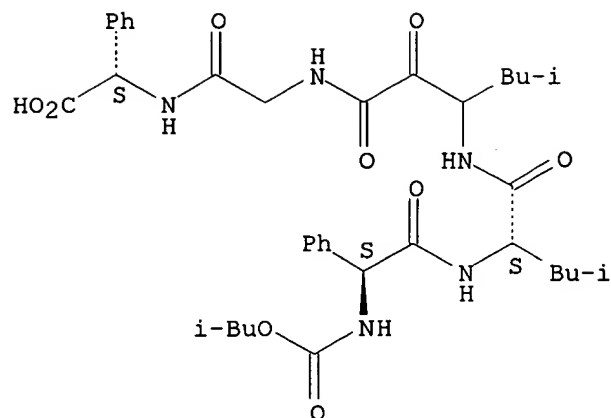


RN 393582-28-0 CAPLUS

CN Glycine, (2S)-N-[(2-methylpropoxy)carbonyl]-2-phenylglycyl-L-leucyl-3-amino-5-methyl-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

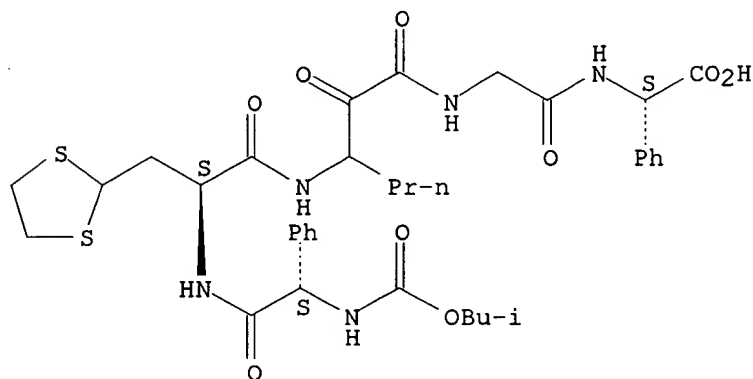
09/909012



RN 393582-29-1 CAPLUS

CN Glycine, (2S)-N-[(2-methylpropoxy)carbonyl]-2-phenylglycyl-3-(1,3-dithiolan-2-yl)-L-alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

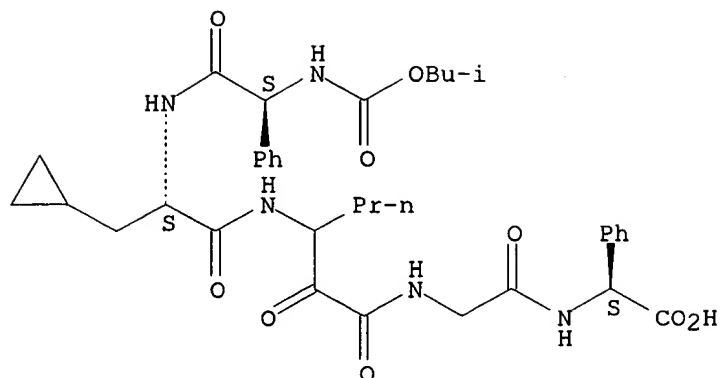


RN 393582-30-4 CAPLUS

CN Glycine, (2S)-N-[(2-methylpropoxy)carbonyl]-2-phenylglycyl-3-cyclopropyl-L-alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

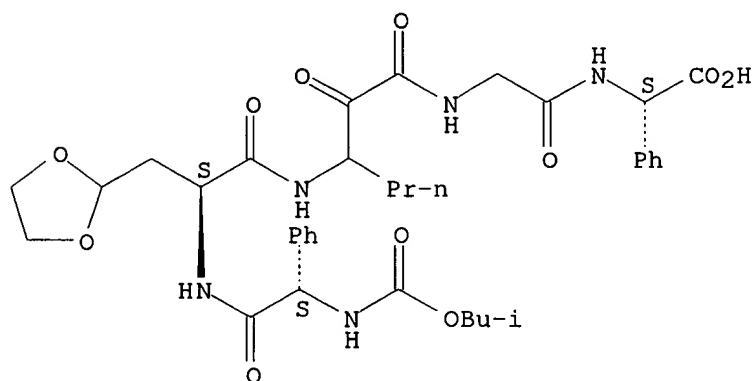
09/909012



RN 393582-31-5 CAPLUS

CN Glycine, (2S)-N-[(2-methylpropoxy)carbonyl]-2-phenylglycyl-3-(1,3-dioxolan-2-yl)-L-alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

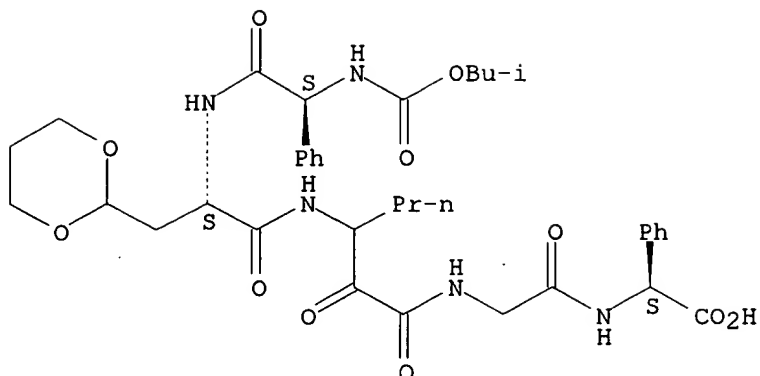


RN 393582-32-6 CAPLUS

CN Glycine, (2S)-N-[(2-methylpropoxy)carbonyl]-2-phenylglycyl-3-(1,3-dioxan-2-yl)-L-alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

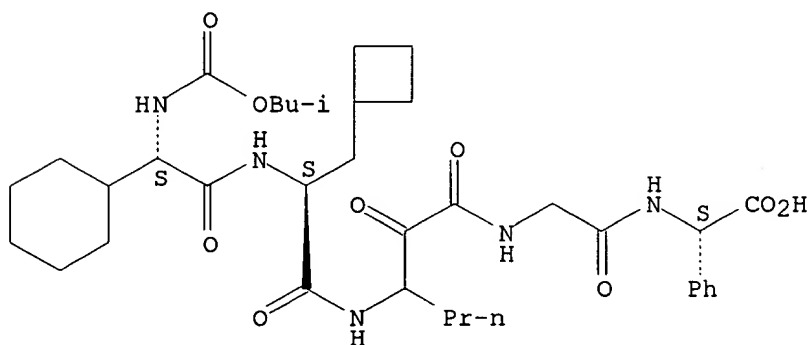
09/909012



RN 393582-57-5 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-3-cyclobutyl-L-alanyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

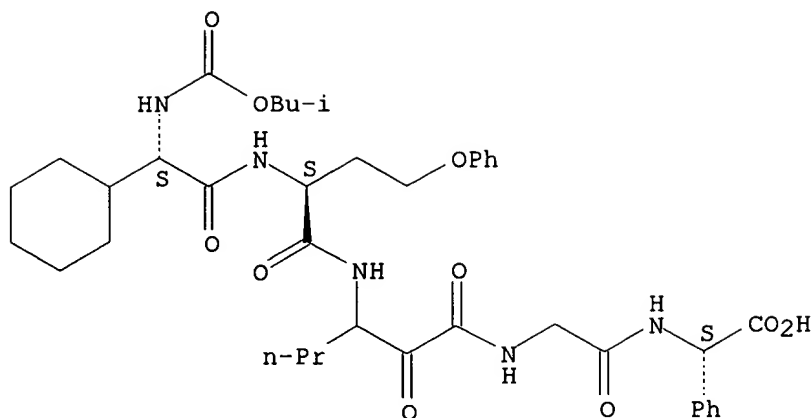


RN 393582-58-6 CAPLUS

CN Glycine, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-O-phenyl-L-homoseryl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

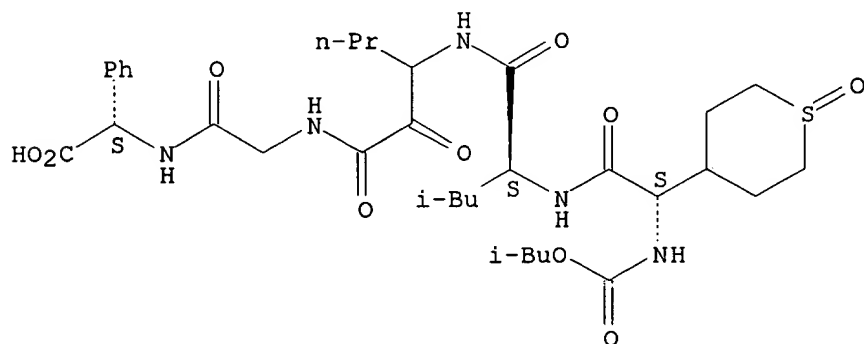
09/909012



RN 394203-67-9 CAPLUS

CN Glycine, (2S)-N-[(2-methylpropoxy)carbonyl]-2-(tetrahydro-1-oxido-2H-thiopyran-4-yl)glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 FILE 'CAOLD' ENTERED AT 16:18:17 ON 17 FEB 2005  
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L8 FILE 'USPATFULL' ENTERED AT 16:18:27 ON 17 FEB 2005  
1 S L5

L8 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER: 2002:288093 USPATFULL

TITLE: Novel peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K., Upper Montclair, NJ, UNITED STATES  
Girijavallabhan, Viyyoor Moopil, Parsippany, NJ, UNITED STATES  
Bogen, Stephane L., Somerset, NJ, UNITED STATES

Searcher : Shears 571-272-2528

09/909012

Lovey, Raymond G., West Caldwell, NJ, UNITED STATES  
Jao, Edwin E., Warren, NJ, UNITED STATES  
Bennett, Frank, Piscataway, NJ, UNITED STATES  
Mc Cormick, Jinping L., Edison, NJ, UNITED STATES  
Wang, Haiyan, Cranbury, NJ, UNITED STATES  
Pike, Russell E., Stanhope, NJ, UNITED STATES  
Liu, Yi-Tsung, Morris Township, NJ, UNITED STATES  
Chan, Tin-Yau, Edison, NJ, UNITED STATES  
Zhu, Zhaoning, East Windsor, NJ, UNITED STATES  
Arasappan, Ashok, Bridgewater, NJ, UNITED STATES  
Chen, Kevin X., Iselin, NJ, UNITED STATES  
Venkatraman, Srikanth, Fords, NJ, UNITED STATES  
Parekh, Tejal, Mountain View, CA, UNITED STATES  
Pinto, Patrick A., Morris Plains, NJ, UNITED STATES  
Santhanam, Bama, Bridgewater, NJ, UNITED STATES  
Njoroge, F. George, Warren, NJ, UNITED STATES  
Ganguly, Ashit K., Upper Montclair, NJ, UNITED STATES  
Vaccaro, Henry A., South Plainfield, NJ, UNITED STATES  
Kemp, Scott Jeffrey, San Diego, CA, UNITED STATES  
Levy, Odile Esther, San Diego, CA, UNITED STATES  
Lim-Wilby, Marguerita, La Jolla, CA, UNITED STATES  
Tamura, Susan Y., Santa Fe, NM, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002160962	A1	20021031
APPLICATION INFO.:	US 2001-909012	A1	20010719 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220107P	20000721 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530	
NUMBER OF CLAIMS:	40	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2831	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	The present invention discloses novel peptide compounds which have HCV protease inhibitory activity as well as methods for preparing such compounds. In another embodiment, the invention discloses pharmaceutical compositions comprising such compounds as well as methods of using them to treat disorders associated with the HCV protease.	

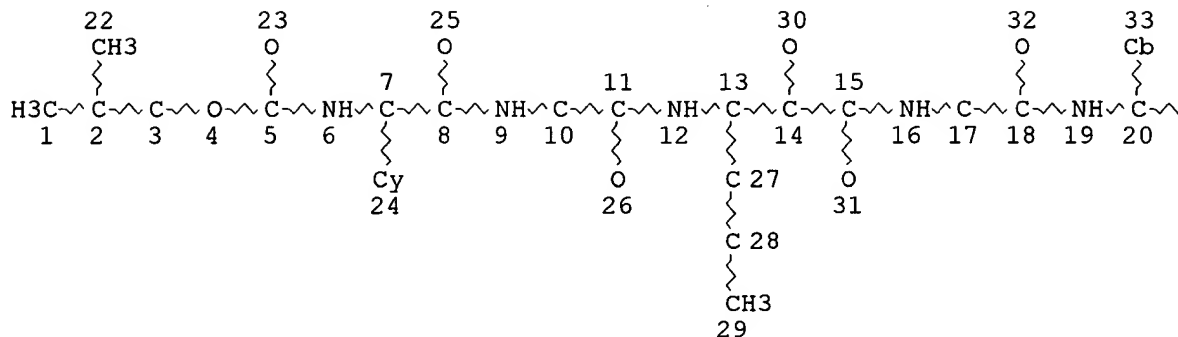
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Searcher : Shears 571-272-2528

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Page 1-A

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Page 1-B

NODE ATTRIBUTES:

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MLEVEL IS CLASS AT 24 33

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

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L12 1 SEA FILE=MARPAT SSS FUL L10 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 5620 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.14

L12 ANSWER 1 OF 1 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:151439 MARPAT

TITLE: Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Bogen, Stephane L.; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Liu, Yi-Tsung; Chan, Tin-Yau; Zhu, Zhaoning; Arasappan, Ashok; Chen, Kevin X.; Venkatraman, Srikanth; Parekh, Tejal N.; Pinto, Patrick A.; Santhanam, Bama; Njoroge, F. George; Ganguly, Ashit K.; Vaccaro, Henry A.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.

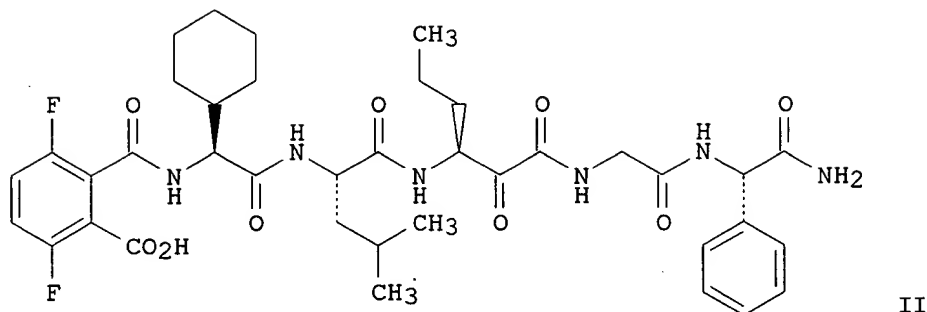
Searcher : Shears 571-272-2528

09/909012

PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.  
SOURCE: PCT Int. Appl., 188 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008187	A1	20020131	WO 2001-US22813	20010719
WO 2002008187	C2	20030103		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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ZA 2002010311	A	20040319	ZA 2002-10311	20021219
NO 2003000271	A	20030318	NO 2003-271	20030120
PRIORITY APPLN. INFO.:			US 2000-220107P	20000721
			WO 2001-US22813	20010719

GI



II was prepared using solid-phase methods and showed a  $K_i$  value in the range of 0-100 nM for HCV protease inhibitory activity. This invention also discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical composition component; preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Peptides, preparation  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Hepatitis C virus  
 (treatment; preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT Interferons  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (α, pharmaceutical composition component; preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

IT 36791-04-5, Ribavirin  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical composition component)

IT 149885-80-3, NS3 protease  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

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394203-70-4P 394203-71-5P 394203-75-9P 394203-76-0P 394203-77-1P  
394204-32-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of novel peptides as NS3-serine protease inhibitors of  
hepatitis C virus)

IT 91-00-9, Diphenylmethylamine 96-81-1 106-95-6, Allyl bromide,  
reactions 120-14-9 543-27-1, Isobutyl chloroformate 627-05-4,  
1-Nitrobutane 652-40-4, 3,6-Difluorophthalic anhydride 870-46-2,  
tert-Butyl carbazate 2462-31-9 2762-32-5, 2-Piperazinecarboxylic acid  
2900-27-8 2935-35-5 2999-46-4, Ethyl isocyanoacetate 4530-20-5  
13211-31-9 35264-05-2 35661-40-6 35661-60-0 50305-43-6  
53934-78-4 55447-00-2 55516-54-6 58438-04-3 98541-64-1  
102410-65-1 109183-71-3 135112-28-6 143935-63-1 161321-36-4  
270587-81-0 393581-87-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of novel peptides as NS3-serine protease inhibitors of  
hepatitis C virus)

IT 6485-52-5DP, resin-bound 41487-04-1P 58948-98-4P 60079-51-8P  
64835-38-7P 76203-43-5P 137381-03-4P 143978-92-1P 150908-38-6P  
151275-26-2P 166196-05-0P 166196-06-1P 181955-79-3P 276888-16-5P  
276888-17-6P 276888-38-1P 276888-55-2P 276888-56-3P 367258-42-2P  
367258-43-3P 367258-44-4P 367258-45-5P 367258-46-6P 367258-47-7P  
367259-26-5P 367259-52-7P 367260-51-3P 371111-94-3P 371112-18-4P  
371112-23-1P 393581-24-3P 393581-25-4P 393581-26-5P 393581-27-6P  
393581-28-7P 393581-29-8P 393581-30-1P 393581-31-2P 393581-32-3P  
393581-33-4P 393581-34-5P 393581-35-6P 393581-36-7P 393581-37-8P  
393581-38-9P 393581-40-3P 393581-41-4P 393581-42-5P 393581-43-6P  
393581-44-7P 393581-45-8P 393581-46-9P 393581-47-0P 393581-48-1P  
393581-49-2P 393581-50-5P 393581-51-6DP, resin-bound 393581-52-7DP,  
resin-bound 393581-53-8P 393581-54-9P 393581-55-0P 393581-56-1P  
393581-57-2P 393581-58-3P 393581-59-4P 393581-60-7P 393581-61-8P  
393581-62-9P 393581-63-0P 393581-64-1P 393581-65-2P 393581-66-3P  
393581-67-4P 393581-68-5P 393581-69-6P 393581-70-9P 393581-71-0P  
393581-72-1P 393581-73-2DP, resin-bound 393581-74-3DP, resin-bound  
393581-75-4DP, resin-bound 393581-76-5DP, resin-bound 393581-77-6DP,  
resin-bound 393581-78-7DP, resin-bound 393581-79-8DP, resin-bound  
393581-80-1DP, resin-bound 393581-81-2DP, resin-bound 393581-82-3DP,  
resin-bound 393582-00-8P 394203-72-6P 394203-73-7P 394203-74-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of novel peptides as NS3-serine protease inhibitors of  
hepatitis C virus)

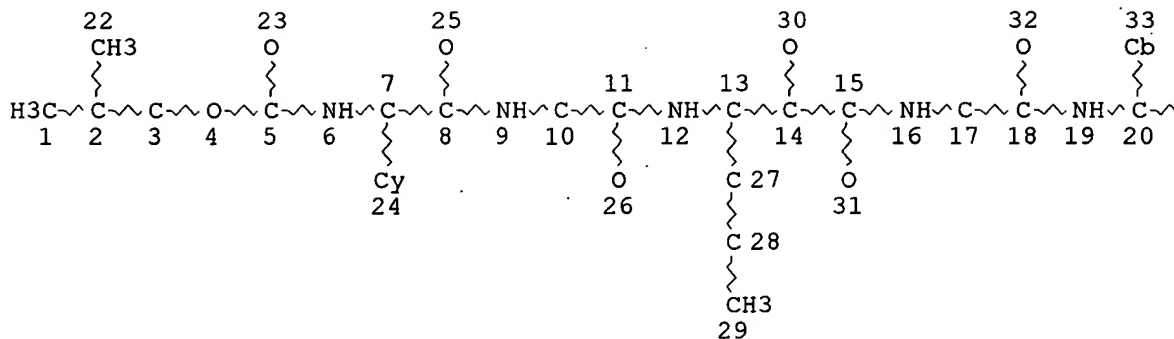
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'MARPATPREV' ENTERED AT 16:20:13 ON 17 FEB 2005

L10 STR

Searcher : Shears 571-272-2528

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Page 1-A

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Page 1-B

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 24 33

GGCAT IS MCY UNS AT 33

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L13 0 SEA FILE=MARPATPREV SSS FUL L10 (MODIFIED ATTRIBUTES)

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0 ANSWERS

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